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 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2  Dec 17   The CA Lexicon available in the CAPLUS and CA files
 NEWS  3  Feb 06   Engineering Information Encompass files have new names
 NEWS  4  Feb 16   TOXLINE no longer being updated
 NEWS  5  Apr 23   Search Derwent WPINDEX by chemical structure
 NEWS  6  Apr 23   PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
 NEWS  7  May 07   DGENE Reload
 NEWS  8  Jun 20   Published patent applications (A1) are now in USPATFULL
 NEWS  9  JUL 13   New SDI alert frequency now available in Derwent's
                   DWPI and DPCI
 NEWS 10  Aug 23   In-process records and more frequent updates now in
                   MEDLINE
 NEWS 11  Aug 23   PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
 NEWS 12  Aug 23   Adis Newsletters (ADISNEWS) now available on STN
 NEWS 13  Sep 17   IMSworld Pharmaceutical Company Directory name change
                   to PHARMASEARCH
 NEWS 14  Oct 09   Korean abstracts now included in Derwent World Patents
                   Index
 NEWS 15  Oct 09   Number of Derwent World Patents Index updates increased
 NEWS 16  Oct 15   Calculated properties now in the REGISTRY/ZREGISTRY File
 NEWS 17  Oct 22   Over 1 million reactions added to CASREACT
 NEWS 18  Oct 22   DGENE GETSIM has been improved
 NEWS 19  Oct 29   AAASD no longer available
 NEWS 20  Nov 19   New Search Capabilities  USPATFULL and USPAT2
 NEWS 21  Nov 19   TOXCENTER(SM) - new toxicology file now available on STN
 NEWS 22  Nov 29   COPPERLIT now available on STN
 NEWS 23  Nov 29   DWPI revisions to NTIS and US Provisional Numbers
 NEWS 24  Nov 30   Files VETU and VETB to have open access
 NEWS 25  Dec 10   WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
 NEWS 26  Dec 10   DGENE BLAST Homology Search
 NEWS 27  Dec 17   WELDASEARCH now available on STN
 NEWS 28  Dec 17   STANDARDS now available on STN
 NEWS 29  Dec 17   New fields for DPCI
 NEWS 30  Dec 19   CAS Roles modified
 NEWS 31  Dec 19   1907-1946 data and page images added to CA and CAplus

 NEWS EXPRESS  August 15 CURRENT WINDOWS VERSION IS V6.0c,
               CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP),
               AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.
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FILE 'HOME' ENTERED AT 14:17:00 ON 15 JAN 2002

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.15	0.15

FILE 'REGISTRY' ENTERED AT 14:17:09 ON 15 JAN 2002
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STRUCTURE FILE UPDATES: 14 JAN 2002 HIGHEST RN 383122-99-4
DICTIONARY FILE UPDATES: 14 JAN 2002 HIGHEST RN 383122-99-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

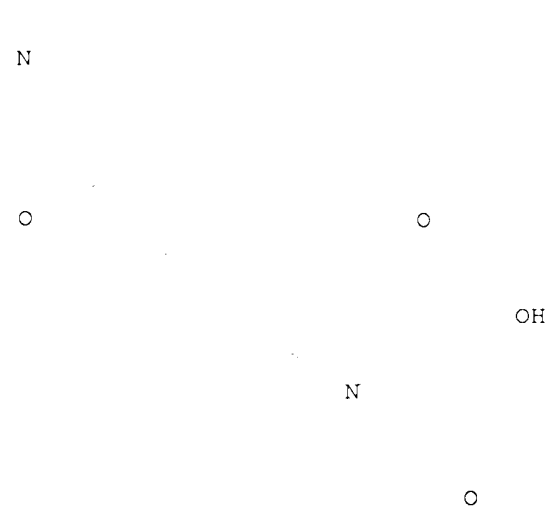
Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 779116b.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sss sam

SAMPLE SEARCH INITIATED 14:17:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -  12904 TO ITERATE

  7.7% PROCESSED    1000 ITERATIONS                                 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       251289 TO   264871
PROJECTED ANSWERS:             212 TO      820

L2             2 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 14:17:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 260128 TO ITERATE

100.0% PROCESSED  260128 ITERATIONS                               70 ANSWERS
SEARCH TIME: 00.00.09

L3            70 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               140.54     140.69

FILE 'CAPLUS' ENTERED AT 14:18:11 ON 15 JAN 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 15 Jan 2002 VOL 136 ISS 3
FILE LAST UPDATED: 14 Jan 2002 (20020114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1907 to the present. Bibliographic information and abstracts were added in 2001 for over 3.8 million records from 1907-1966.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

=> s l3 full

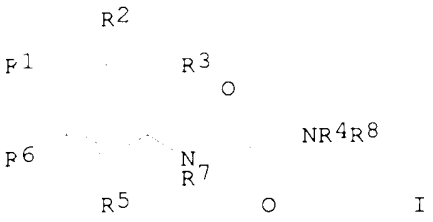
L4 8 L3

=> d l4 1-8 ibib abs hitstr

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:631913 CAPLUS
DOCUMENT NUMBER: 135:195556
TITLE: Preparation of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors
INVENTOR(S): Broadhurst, Michael John; Hill, Christopher Huw; Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul Brittain; Kilford, Ian Reginald; Mckinnell, Robert Murray
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: Eur. Pat. Appl., 256 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1127883	A2	20010829	EP 2001-103521	20010216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001000900	A	20010827	NO 2001-900	20010222
CN 1310179	A	20010829	CN 2001-104906	20010223
JP 2001261663	A2	20010926	JP 2001-51064	20010226
PRIORITY APPLN. INFO.:			GB 2000-4392 A	20000224
			GB 2000-15877 A	20000628
			GB 2000-20322 A	20000817

OTHER SOURCE(S): MARPAT 135:195556
GI



AB Title compds. (I; R1 = heterocyclyl; R2 = H, alkyl, alkoxy, halo, OH, cyano; R3 = H, alkyl, alkoxy, halo, cyano; R4 = H, alkyl, cycloalkyl, aryl, heterocyclyl; R5 = H, alkyl, alkoxy, halo, cyano; R6 = H, alkyl, alkoxy, halo, cyano; R7, R8 = H, alkyl; R4R8N = heterocyclyl), were prepd.
Thus, 1,1-dimethyl-3-(4-nitrophenoxy)propylamine (prepn. given) was coupled with N-[3-methoxy-4-(5-oxazolyl)phenyl]oxamic acid in the presence of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and 1-hydroxy-7-azabenzotriazole to give N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide. Tested I inhibited IMPDH with IC50 = 0.010-0.277 .mu.M. I can be used for treating immune mediated conditions or diseases, viral diseases, bacterial diseases, parasitic diseases, inflammation, inflammatory diseases, hyperproliferative vascular diseases, tumors, and cancer.

IT **267405-69-6P 357179-57-8P 357179-58-9P**
357179-59-0P 357179-69-2P 357179-71-6P
357179-74-9P 357179-88-5P 357180-70-2P
357180-82-6P 357180-83-7P 357180-84-8P
357180-85-9P 357180-86-0P 357180-95-1P
357180-96-2P 357180-97-3P 357180-98-4P
357180-99-5P 357181-00-1P 357181-01-2P
357181-02-3P 357181-03-4P 357181-36-3P
357181-37-4P 357181-38-5P 357181-40-9P
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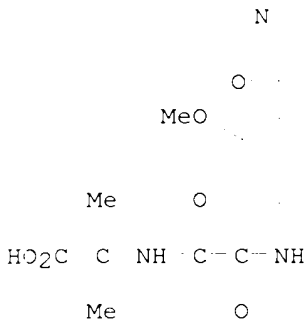
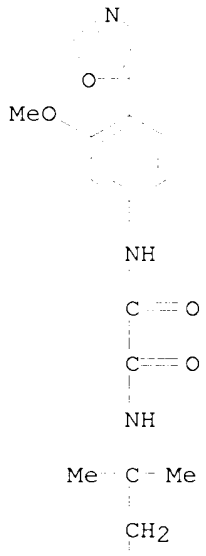
357181-53-4P 357181-54-5P 357181-55-6P
357181-72-7P 357181-73-8P 357181-76-1P
357181-79-4P 357181-80-7P 357181-81-8P
357181-84-1P 357182-74-2P 357182-78-6P
357183-19-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors)

RN 267405-69-6 CAPLUS
CN Alanine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-2-methyl- (9CI) (CA INDEX NAME)

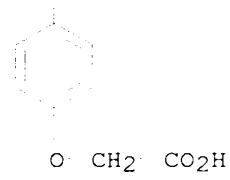


RN 357179-57-8 CAPLUS
CN Acetic acid,
[4-[2-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin
o]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

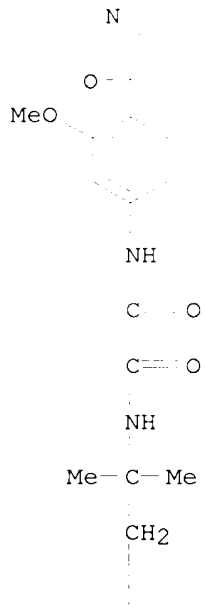


PAGE 2-A

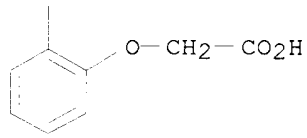


RN 357179-58-9 CAPLUS
CN Acetic acid,
[2-[2-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin
o]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

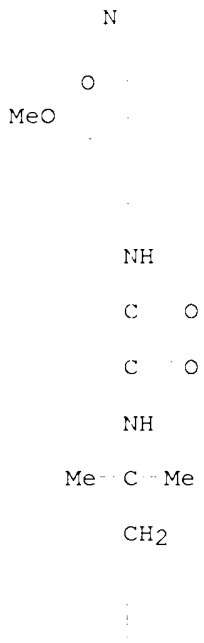


PAGE 2-A

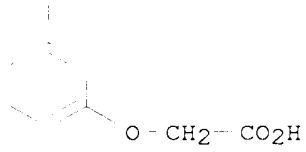


RN 357179-59-0 CAPLUS
CN Acetic acid,
[3-[2-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin
o]-2-methylpropyl]phenoxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

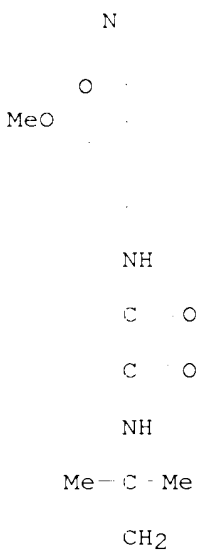


PAGE 2-A



RN 357179-69-2 CAPLUS
CN Benzoic acid,
4-[2-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin
o]-2-methylpropyl]- (9CI) (CA INDEX NAME)

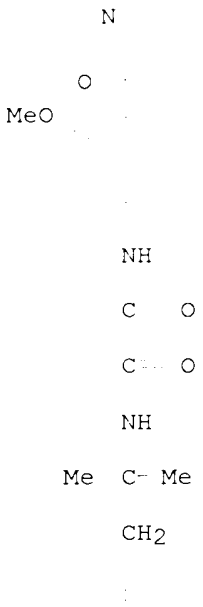
PAGE 1-A



PAGE 2-A

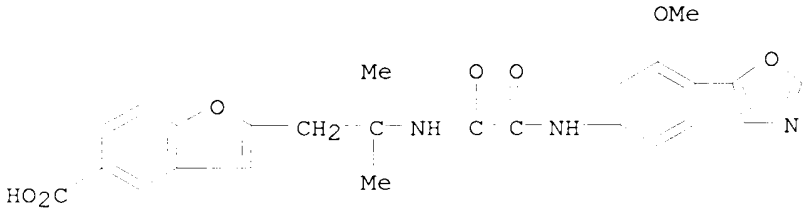
RN 357179-71-6 CAPLUS
CN Benzoic acid,
3-[2-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin
o]-2-methylpropyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

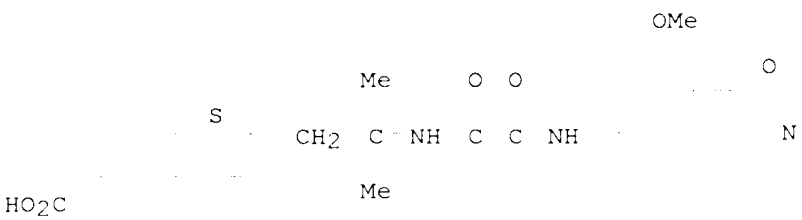


PAGE 2-A

RN 357179-74-9 CAPLUS
CN 5-Benzofurancarboxylic acid, 2-[2-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amino]-2-methylpropyl]- (9CI) (CA INDEX NAME)



RN 357179-88-5 CAPLUS
CN Benzo[b]thiophene-5-carboxylic acid, 2-[2-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amino]-2-methylpropyl]- (9CI) (CA INDEX NAME)



RN 357180-70-2 CAPLUS
CN Benzoic acid,
4-[[[4-[2-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl
]amino]-2-methylpropyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

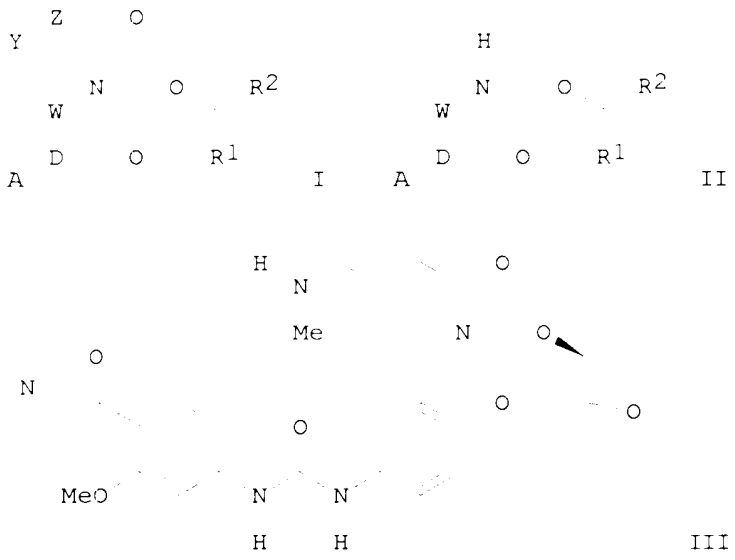
u
CN Benzoic acid,
4-[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]oxoacetyl]amin
o]-3-methylbutoxy]- (9CI) (CA INDEX NAME)
=> d l4 2-8 ibib abs hitstr

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:12455 CAPLUS
DOCUMENT NUMBER: 134:86041
TITLE: Preparation of carbamate prodrugs for inhibition of inosine monophosphate dehydrogenase (IMPDH)
INVENTOR(S): Stamos, Dean P.; Bethiel, Randy S.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000622	A1	20010104	WO 2000-US17400	20000623

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-141102 P 19990625
OTHER SOURCE(S): MARPAT 134:86041
GI



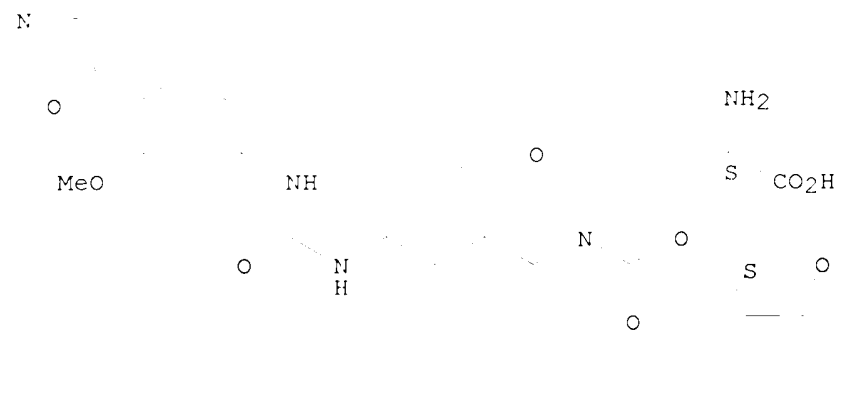
AB Carbamate prodrugs I [W = (un)substituted monocyclic or bicyclic, (un)satd. or arom. ring system consisting of 5-6 members per ring (optionally heterocyclic); D = NR3CONR3, CONR3, NR3CO, NR3COCR4:CR4 where each R3 = H, (un)substituted alkyl, alkenyl or alkynyl; R4 = R3, (un)substituted alkyl, alkenyl or alkenyl attached via O, OCO, S, SO, SO2, SCO, NR3, or NR3CO; A = W, alkyl, alkenyl, or alkynyl where A optionally comprises up to 2 substituents wherein: the first of said substituents is R5 or W, and the second substituent, if present, is R5; R5 = (un)substituted 1,2-methylenedioxy, 1,2-ethylenedioxy, alkyl, alkenyl or alkynyl or (CH2)nW1; W1 = halo, CN, NO2, CF3, OH, alkoxy, etc.; n = 0-2; Y = NHR6; R6 = H, (un)substituted alkyl, alkenyl, alkynyl, aryl or alkylaryl and any NR6, taken together with the nitrogen and a carbon adjacent to the nitrogen, optionally forms a 5-7 membered ring, wherein said ring optionally contains up to 3 addnl. heteroatoms; Z = (un)substituted alkyl, alkenyl, alkynyl, aryl-alkyl, -alkenyl or -alkynyl, wherein up to 3 carbon atoms may be replaced with O, S, SO, SO2, or NR6, wherein up to 3 CH2 groups may be replaced with CO; R1 = (un)substituted alkyl; R2 = H, CF3, alkyl, alkyl-W, W, or R1 and R2 together form a ring as defined in W], that on metab. convert to active inhibitors (formula II) of the IMPDH enzyme in vivo, were prepd. Thus, III.cntdot.HCl was prepd. from methylaminobutyric acid in seven steps. I and pharmaceutical compns. thereof are particularly well suited for activation and subsequent inhibition of the IMPDH enzyme activity. The rate of absorption of I via oral uptake of the prodrugs was dependent on the nature of substituents Z and Y, as well as the pH, with AUC values ranging from <1 to 5 mg.cntdot.hr/mL. Consequently, I may be advantageously used as therapeutic agents for IMPDH-mediated processes, e.g., cell proliferation (antitumor agents), and viral replication (antiviral agents).

IT **317345-76-9P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prepn. and biol. activity of N,N'-diphenylurea prodrugs of carbamate inhibitors of IMPDH)

RN 317345-76-9 CAPLUS

CN L-Glutamine,
N-[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino
]phenyl]methyl]-N-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 5
REFERENCE(S): (1) Beylin, V; JOURNAL OF HETEROCYCLIC CHEMISTRY 1988,
V25(1), P97 CAPLUS
(2) Kahns, A; 1991, 6, P483 CAPLUS
(3) Kahns, A; INT J PHARM 1991, V71(1-2), P31 CAPLUS
(4) Sharma, S; JOURNAL OF MEDICINAL CHEMISTRY 1989, V32(2), P357 CAPLUS
(5) Vertex Pharmaceuticals Incorporated; WO 9740028 A 1997 CAPLUS

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:688087 CAPLUS
DOCUMENT NUMBER: 133:261545
TITLE: Inosine-5'-monophosphate dehydrogenase (IMPDH) inhibitors, their preparation, and their therapeutic use
INVENTOR(S): Stamos, Dean; Trudeau, Martin; Bethiel, Scott; Badia, Michael; Saunders, Jeffrey
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000056331	A1	20000928	WO 2000-US7129	20000317

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-125507 P 19990319

OTHER SOURCE(S): MARPAT 133:261545

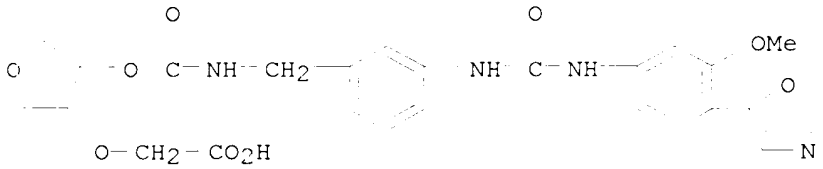
AB Compds. and pharmaceutical compns. are provided which inhibit IMPDH. The compds. and pharmaceutical compns. of the invention are particularly well suited for inhibiting IMPDH activity and consequently, may be used as therapeutic agents for IMPDH-mediated processes. The invention also relates to methods for inhibiting the activity of IMPDH using the compds. of the invention and related compds.

IT **297728-82-6 297729-82-9 297729-83-0**

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inosine monophosphate dehydrogenase inhibitors, prepn., and therapeutic use)

RN 297728-82-6 CAPLUS

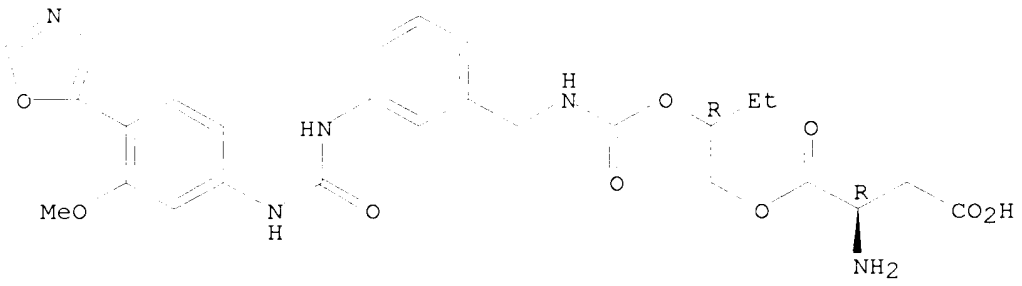
CN Acetic acid, [[tetrahydro-4-[[[[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]oxy]-3-furanyl]oxy]- (9CI) (CA INDEX NAME)



RN 297729-82-9 CAPLUS

CN D-Aspartic acid, 1-[(2R)-2-[[[[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]oxy]butyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

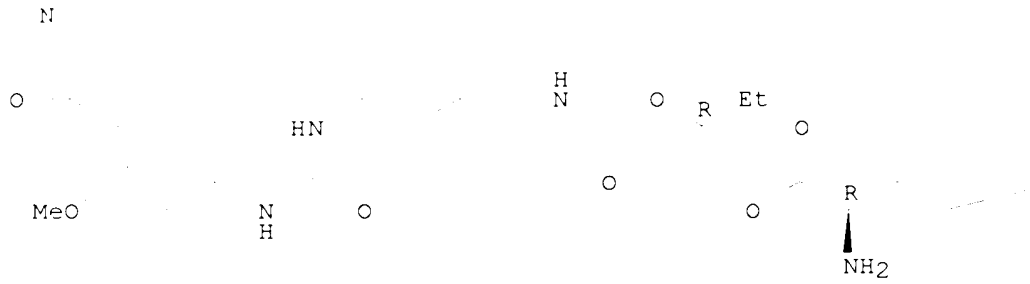


RN 297729-83-0 CAPLUS

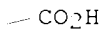
CN D-Glutamic acid, 1-[(2R)-2-[[[[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]oxy]butyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



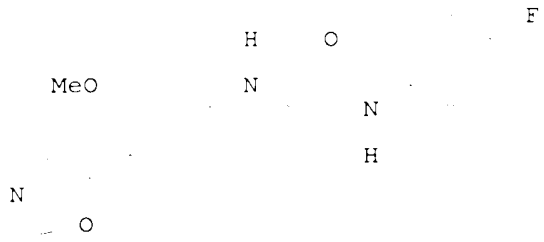
REFERENCE COUNT: 1
REFERENCE(S): (1) Vertex Pharmaceuticals Incorporated; WO 9740028 A1

1997 CAPLUS

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:314682 CAPLUS
DOCUMENT NUMBER: 132:334449
TITLE: Preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme
INVENTOR(S): Gu, Henry H.; Dhar, T. G. Murali; Iwanowicz, Edwin
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026197	A1	20000511	WO 1999-US24889	19991022
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1127054	A1	20010829	EP 1999-960145	19991022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-106180 P 19981029
WO 1999-US24889 W 19991022
OTHER SOURCE(S): MARPAT 132:334449
GI



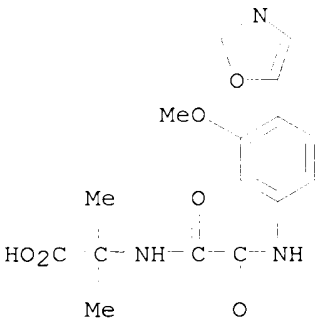
II

AB The title compds. ZJKLX [I; Z = (un)substituted monocyclic or bicyclic ring system contg. up to 4 heteroatoms selected from N, O, and S; J = NR7, CO; K = NR7, CO, CHR9; L = a single bond, CO, CR10R11, etc.; X = alkyl, alkenyl, cycloalkylalkyl, etc.; R7 = H, alkyl, alkenyl, etc.; R9 = H, alkyl, alkenyl, etc.; R10, R11 = H, F, Cl, etc.], useful in treating or preventing IMPDH assocd. disorders, such as transplant rejection and autoimmune disease, were prepd. E.g., a multi-step synthesis of gycinamide II was given. Compds. I are effective at 0.1-500 mg/kg/day.

IT **267405-69-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme)

RN 267405-69-6 CAPLUS

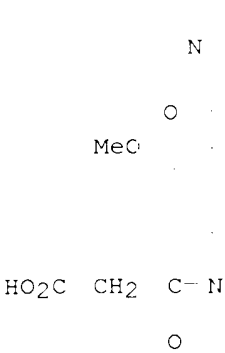
CN Alanine, N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-2-methyl- (9CI) (CA INDEX NAME)



IT **267406-37-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme)

RN 267406-37-1 CAPLUS

CN Propanoic acid, 3-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-3-oxo- (9CI) (CA INDEX NAME)

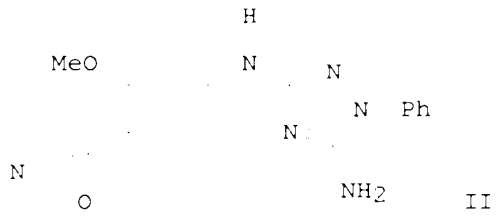


REFERENCE COUNT: 3
REFERENCE(S): (1) Diana; US 4861791 A 1989 CAPLUS
(2) Djuric; US 5073562 A 1991 CAPLUS
(3) Goldstein; US 5334604 A 1994 CAPLUS

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:314540 CAPLUS
DOCUMENT NUMBER: 132:334477
TITLE: Preparation of compounds derived from an amine nucleus as inhibitors of IMPDH enzyme
INVENTOR(S): Liu, Chunjian; Dhar, T. G. Murali; Gu, Henry H.; Iwanowicz, Edwin J.; Leftheris, Katerina; Pitts, William John
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000025780	A1	20000511	WO 1999-US24825	19991022
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1126843	A1	20010829	EP 1999-955142	19991022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-106186 P 19981029
WO 1999-US24825 W 19991022
OTHER SOURCE(S): MARPAT 132:334477
GI



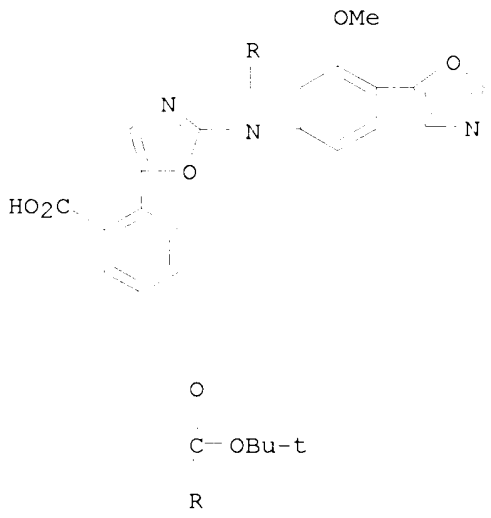
II

AB The title compds. XN(R)BD [I; X = (un)substituted monocyclic or bicyclic ring system optionally contg. up to 4 heteroatoms selected from N, O, and S; R = H, alkyl; B = (un)substituted monocyclic or bicyclic ring system optionally contg. up to 4 heteroatoms selected from N, O, and S; D = (un)substituted monocyclic or bicyclic ring system optionally contg. up to 4 heteroatoms selected from N, O, and S], useful in treating or preventing IMPDH (inosine-5'-monophosphate dehydrogenase) mediated diseases, such as transplant rejection and autoimmune diseases, were prepd. E.g., a multi-step synthesis of triazole II was given. Compds. I are effective at 0.1-500 mg/kg/day.

IT **267648-02-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of compds. derived from an amine nucleus as inhibitors of IMPDH enzyme)

RN 267648-02-2 CAPLUS

CN Benzoic acid, 2-[2-[[(1,1-dimethylethoxy)carbonyl][3-methoxy-4-(5-oxazolyl)phenyl]amino]-5-oxazolyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1
REFERENCE(S): (1) Knox; US 5247083 A 1993 CAPLUS

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:268526 CAPLUS
DOCUMENT NUMBER: 132:288797
TITLE: Inosine 5'-monophosphate dehydrogenase (IMPDH) inhibitor preparation for therapeutic use
INVENTOR(S): Armistead, David M.; Badia, Michael C.; Bemis, Guy W.;
Bethiel, Randy S.; Frank, Catharine A.; Novak, Perry

M.; Ronkin, Steven M.; Saunders, Jeffrey O.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
SOURCE: U.S., 22 pp., Cont.-in-part of U.S. 5,807,876.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6054472	A	20000425	US 1997-832165	19970402
US 5807876	A	19980915	US 1996-636361	19960423
WO 9740028	A1	19971030	WO 1997-US6623	19970421
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9726785	A1	19971112	AU 1997-26785	19970421
AU 723730	B2	20000907		
EP 902782	A1	19990324	EP 1997-918759	19970421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1219929	A	19990616	CN 1997-194856	19970421
BR 9708735	A	19990803	BR 1997-8735	19970421
JP 2001509132	T2	20010710	JP 1997-538234	19970421
NO 9804917	A	19981223	NO 1998-4917	19981022
KR 2000010580	A	20000215	KR 1998-708454	19981022

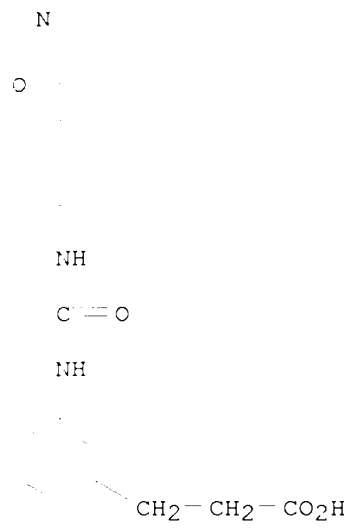
PRIORITY APPLN. INFO.:		
US 1996-636361	A2	19960423
US 1997-801780	A2	19970214
US 1997-832165	A	19970402
WO 1997-US6623	W	19970421

OTHER SOURCE(S): MARPAT 132:288797

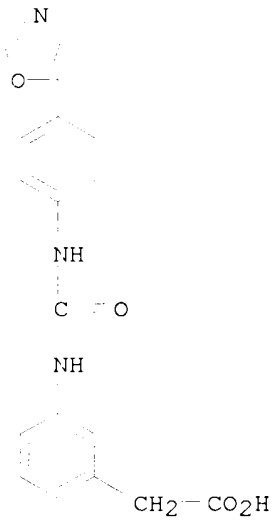
AB The invention relates to a novel class of compds. which are IMPDH inhibitors. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of the invention are particularly well suited for inhibiting IMPDH activity and consequently may be used as therapeutic agents for IMPDH-mediated processes. The invention also relates to methods for inhibiting the activity of IMPDH using the compds. of the invention and related compds.

IT **198820-33-6 198820-44-9 198820-62-1**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inosine monophosphate dehydrogenase inhibitor prepn. for therapeutic use)

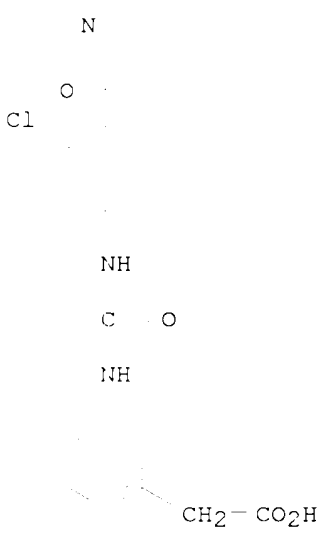
RN 198820-33-6 CAPLUS
CN Benzenepropanoic acid, 3-[[[[4-(5-oxazolyl)phenyl]amino]carbonyl]amino]-(9CI) (CA INDEX NAME)



RN 198820-44-9 CAPLUS
CN Benzeneacetic acid, 3-[[[[4-(5-oxazolyl)phenyl]amino]carbonyl]amino]-
(9CI) (CA INDEX NAME)



RN 198820-62-1 CAPLUS
CN Benzeneacetic acid,
3-[[[[3-chloro-4-(5-oxazolyl)phenyl]amino]carbonyl]ami
no]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13
REFERENCE(S): (1) Anon; US 4048333 1977 CAPLUS
(2) Anon; WO 9401105 1994 CAPLUS
(3) Anon; WO 9412184 1994 CAPLUS
(4) Anon; US 5380879 1995 CAPLUS
(5) Anon; US 5444072 1995 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:717901 CAPLUS
DOCUMENT NUMBER: 128:3680
TITLE: Preparation of arylreas and related compounds as inhibitors of inosine 5'-monophosphate dehydrogenase.
INVENTOR(S): Armistead, David M.; Badia, Michael C.; Bemis, Guy W.;
Bethiel, Randy S.; Frank, Catharine A.; Novak, Perry M.; Ronkin, Steven M.; Saunders, Jeffrey O.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740028	A1	19971030	WO 1997-US6623	19970421
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5807876	A	19980915	US 1996-636361	19960423
US 6054472	A	20000425	US 1997-832165	19970402
AU 9726785	A1	19971112	AU 1997-26785	19970421
AU 723730	B2	20000907		
EP 902782	A1	19990324	EP 1997-918759	19970421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9708735	A	19990803	BR 1997-8735	19970421

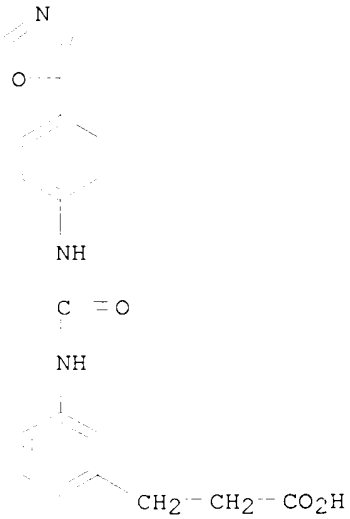
JP 2001509132	T2	20010710	JP 1997-538234		19970421
NO 9804917	A	19981223	NO 1998-4917		19981022
PRIORITY APPLN. INFO.:			US 1996-636361	A	19960423
			US 1997-801780	A	19970214
			US 1997-832165	A	19970402
			WO 1997-US6623	W	19970421

OTHER SOURCE(S): MARPAT 128:3680

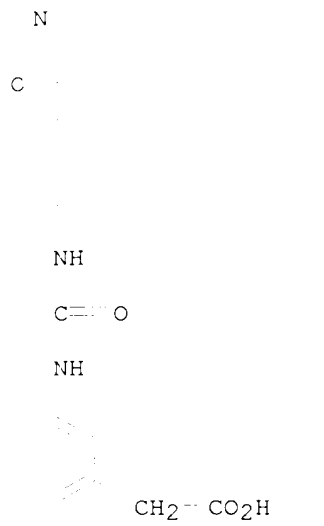
AB ANHDNHB [A = (substituted) alkyl, alkenyl, alkynyl; B = (unsatd.) (substituted) mono- or bicyclic ring contg. .ltoreq.4 heteroatoms; D = CO, CS, SO2], were prepd. Thus, 4-(5-oxazolyl)aniline and PhCH2NCO were stirred overnight in CH2Cl2 to give N-benzyl-N'-[4-(5-oxazolyl)phenyl]urea. Several title compds. inhibited IMPDH with Ki = 0.01-50 nM.

IT **198820-33-6 198820-44-9 198820-62-1**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of arylreas and related compds. as inhibitors of IMP dehydrogenase)

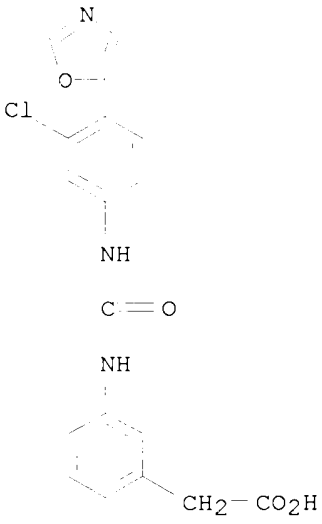
RN 198820-33-6 CAPLUS
CN Benzenepropanoic acid, 3-[[[[4-(5-oxazolyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 198820-44-9 CAPLUS
CN Benzeneacetic acid, 3-[[[[4-(5-oxazolyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 198820-62-1 CAPLUS
CN Benzeneacetic acid,
3-[[[[3-chloro-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:507387 CAPLUS
DOCUMENT NUMBER: 109:107387
TITLE: Homogeneous fluoroassay methods employing fluorescent background rejection and water-soluble rare earth metal chelate fluorophores
INVENTOR(S): Wieder, Irwin; Hale, Ron L.
PATENT ASSIGNEE(S): Baxter Travenol Laboratories, Inc., USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 8707955	A1	19871230	WO 1987-US1407	19870615
W: DK, FI, JP, NO				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 272320	A1	19880629	EP 1987-905011	19870615
EP 272320	B1	19940323		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 01500458	T2	19890216	JP 1987-504676	19870615
AT 103393	E	19940415	AT 1987-905011	19870615
CA 1309016	A1	19921020	CA 1987-539778	19870616
DK 8800798	A	19880216	DK 1988-798	19880216
DK 172464	B1	19980831		
FI 8800719	A	19880216	FI 1988-719	19880216
FI 93997	B	19950315		
FI 93997	C	19950626		
NO 8800684	A	19880412	NO 1988-684	19880216
US 5830769	A	19981103	US 1996-732871	19961015
US 6242268	B1	20010605	US 1998-184330	19981102

PRIORITY APPLN. INFO.:

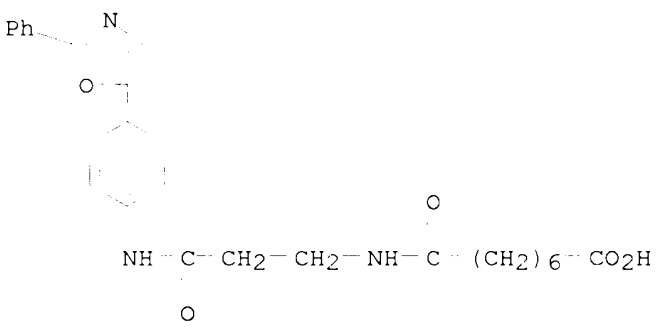
US 1986-875287	A	19860617
US 1985-712774	A2	19850318
US 1985-712779	A2	19850318
EP 1987-905011	A	19870615
WO 1987-US1407	W	19870615
US 1993-35516	B1	19930322
US 1994-338285	B1	19941110
US 1996-732871	A1	19961015

AB Homogeneous assays for detg. the extent of a specific binding reaction can be carried out on very dil. solns. using fluorescence measurements if a fluorescence measurement scheme is employed that is capable of rejecting short-lived background fluorescence. The fluorescent group must be a water-sol. rare earth metal chelate which is stable in extremely dil. aq. solns., i.e. it must have .gtoreq.1 ligand with a binding const. of .gtoreq.1013 M-1. It must also have a fluorescent emission of long duration compared to the longest decay lifetime of ambient substances and must have a half-life of 0.01-50 ms. An energy transfer fluorescence enhancement assay for theophylline was carried out by allowing theophylline in a sample to compete for anti-theophylline antibody with a tracer, 2,6-bis[N,N-di(carboxymethyl)aminomethyl]-4-[4-(theophylline-8-butyramido)phenyl]pyridine Tb chelate (prepn. given). Theophylline could be detd. over the concn. range 5.4-540 ng/10 .mu.L, with an increase in the obsd. fluorescence of .ltoreq.400%.

IT **116241-45-3D**, antibody conjugates
RL: ANST (Analytical study)
(as fluorescence enhancer for fluorescence immunoassay)

RN 116241-45-3 CAPLUS

CN Octanoic acid, 8-oxo-8-[[3-oxo-3-[[4-(2-phenyl-5-oxazolyl)phenyl]amino]propyl]amino]- (9CI) (CA INDEX NAME)



=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	36.07	176.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.96	-4.96

STN INTERNATIONAL LOGOFF AT 14:19:11 ON 15 JAN 2002

Trying 3106016892...Open

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LOGINID:SSSPTA1613SXW
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS 3	Feb 06	Engineering Information Encompass files have new names
NEWS 4	Feb 16	TOXLINE no longer being updated
NEWS 5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS 6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS 7	May 07	DGENE Reload
NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS 9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS 11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 17	Oct 22	Over 1 million reactions added to CASREACT
NEWS 18	Oct 22	DGENE GETSIM has been improved
NEWS 19	Oct 29	AAASD no longer available
NEWS 20	Nov 19	New Search Capabilities USPATFULL and USPAT2
NEWS 21	Nov 19	TOXCENTER(SM) - new toxicology file now available on STN
NEWS 22	Nov 29	COPPERLIT now available on STN
NEWS 23	Nov 29	DWPI revisions to NTIS and US Provisional Numbers
NEWS 24	Nov 30	Files VETU and VETB to have open access
NEWS 25	Dec 10	WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS 26	Dec 10	DGENE BLAST Homology Search
NEWS 27	Dec 17	WELDASEARCH now available on STN
NEWS 28	Dec 17	STANDARDS now available on STN
NEWS 29	Dec 17	New fields for DPCI
NEWS 30	Dec 19	CAS Roles modified
NEWS 31	Dec 19	1907-1946 data and page images added to CA and CAplus

NEWS EXPRESS	August 15 CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:28:12 ON 15 JAN 2002

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.15	0.15

FILE 'REGISTRY' ENTERED AT 10:28:17 ON 15 JAN 2002
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STRUCTURE FILE UPDATES: 14 JAN 2002 HIGHEST RN 383122-99-4
DICTIONARY FILE UPDATES: 14 JAN 2002 HIGHEST RN 383122-99-4

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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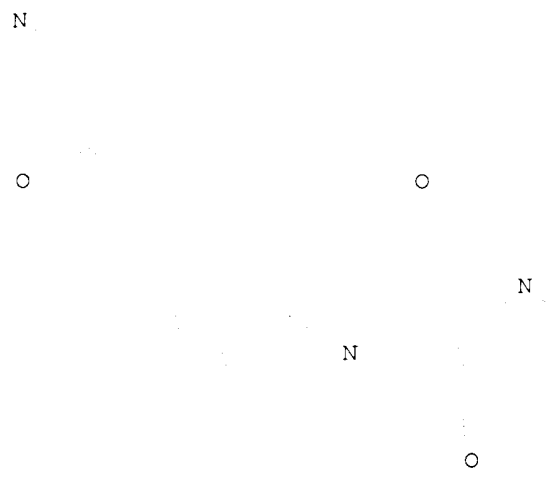
L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 10:28:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4271 TO ITERATE

23.4% PROCESSED 1000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 81504 TO 89336
PROJECTED ANSWERS: 1 TO 208

L2 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 10:29:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 87001 TO ITERATE

100.0% PROCESSED 87001 ITERATIONS 65 ANSWERS
SEARCH TIME: 00.00.03

L3 65 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.54	140.69

FILE 'CAPLUS' ENTERED AT 10:29:14 ON 15 JAN 2002

FILE COVERS 1907 - 15 Jan 2002 VOL 136 ISS 3
FILE LAST UPDATED: 14 Jan 2002 (20020114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1907 to the present. Bibliographic information and abstracts were added in 2001 for over 3.8 million records from 1907-1966.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

=> s l3 full

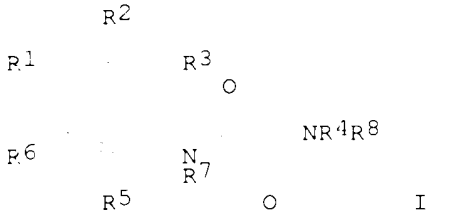
L4 6 L3

=> d l4 1-6 ibib abs hitstr

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:631913 CAPLUS
DOCUMENT NUMBER: 135:195556
TITLE: Preparation of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors
INVENTOR(S): Broadhurst, Michael John; Hill, Christopher Huw; Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul Brittain; Kilford, Ian Reginald; Mckinnell, Robert Murray
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: Eur. Pat. Appl., 256 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1127883	A2	20010829	EP 2001-103521	20010216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001000900	A	20010827	NO 2001-900	20010222
CN 1310179	A	20010829	CN 2001-104906	20010223
JP 2001261663	A2	20010926	JP 2001-51064	20010226
PRIORITY APPLN. INFO.:			GB 2000-4392 A	20000224
			GB 2000-15877 A	20000628
			GB 2000-20322 A	20000817

OTHER SOURCE(S): MARPAT 135:195556
GI



AB Title compds. (I; R1 = heterocyclyl; R2 = H, alkyl, alkoxy, halo, OH, cyano; R3 = H, alkyl, alkoxy, halo, cyano; R4 = H, alkyl, cycloalkyl, aryl, heterocyclyl; R5 = H, alkyl, alkoxy, halo, cyano; R6 = H, alkyl, alkoxy, halo, cyano; R7, R8 = H, alkyl; R4R8N = heterocyclyl), were prepd.
Thus, 1,1-dimethyl-3-(4-nitrophenoxy)propylamine (prepn. given) was coupled with N-[3-methoxy-4-(5-oxazolyl)phenyl]oxamic acid in the presence of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and 1-hydroxy-7-azabenzotriazole to give N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide. Tested I inhibited IMPDH with IC50 = 0.010-0.277 .mu.M. I can be used for treating immune mediated conditions or diseases, viral diseases, bacterial diseases, parasitic diseases, inflammation, inflammatory diseases, hyperproliferative vascular diseases, tumors, and cancer.

IT **357181-06-7P 357181-92-1P 357182-28-6P**
357182-29-7P 357182-30-0P 357182-31-1P
357182-32-2P 357182-33-3P 357182-34-4P
357182-35-5P 357182-36-6P 357182-37-7P
357182-38-8P 357182-39-9P 357182-40-2P
357182-41-3P 357182-42-4P 357182-43-5P
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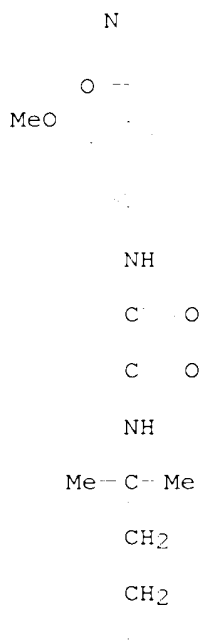
357182-56-0P 357182-57-1P 357182-58-2P
357182-59-3P 357182-60-6P 357182-61-7P
357182-62-8P 357182-90-2P 357183-04-1P
357183-07-4P 357184-40-8P 357184-66-8P
357184-76-0P 357184-78-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors)

RN 357181-06-7 CAPLUS
CN Ethanediamide, N-[3-[4-(4-acetyl-1-piperazinyl)phenoxy]-1,1-dimethylpropyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

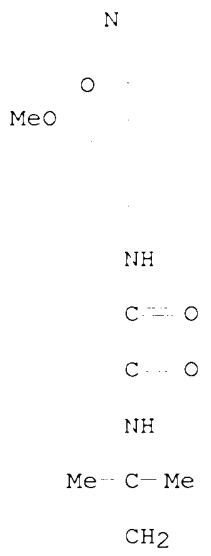
PAGE 1-A



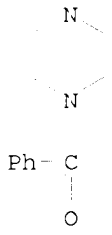
PAGE 2-A

RN 357181-92-1 CAPLUS
CN Ethanediamide, N-[2-(4-benzoyl-1-piperazinyl)-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

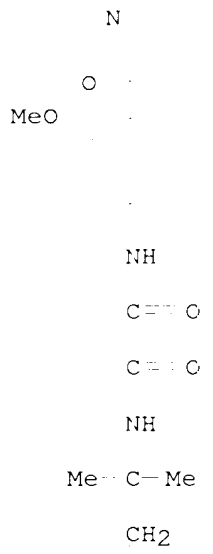
PAGE 1-A

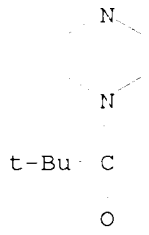


PAGE 2-A

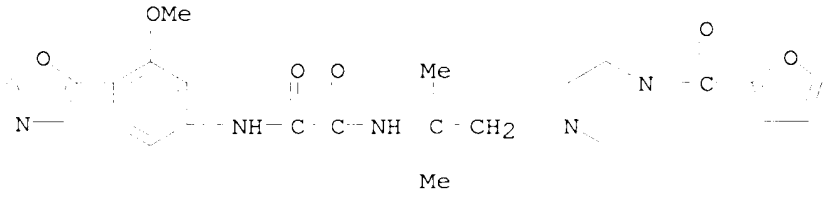


RN 357182-28-6 CAPLUS
CN Ethanediamide, N-[2-[4-(2,2-dimethyl-1-oxopropyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

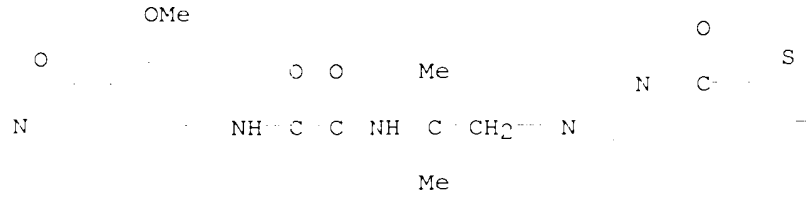




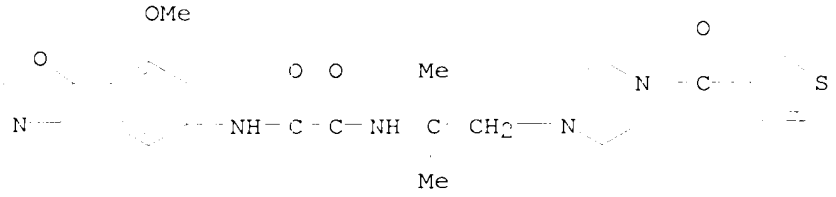
RN 357182-29-7 CAPLUS
CN Ethanediamide, N-[2-[4-(2-furanylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-30-0 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-[4-(2-thienylcarbonyl)-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-31-1 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-[4-(3-thienylcarbonyl)-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-32-2 CAPLUS
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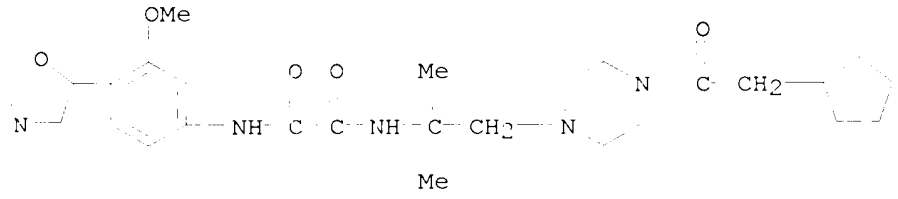

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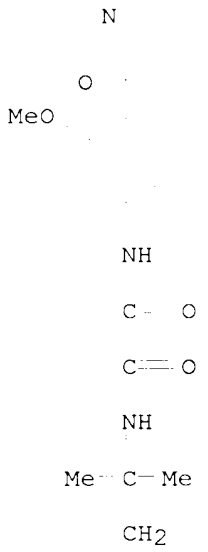
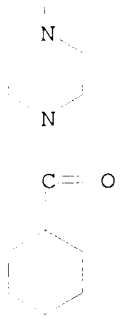
CN Ethanediamide, N-[2-[4-(cyclohexylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

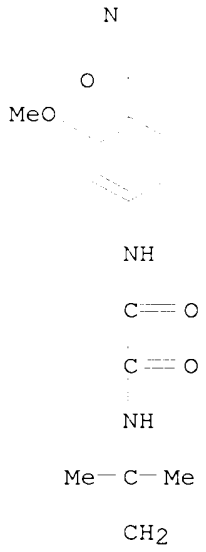


PAGE 2-A

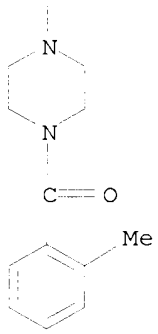


RN 357182-34-4 CAPLUS
CN Ethanediamide,
N-[1,1-dimethyl-2-[4-(2-methylbenzoyl)-1-piperazinyl]ethyl]-
N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

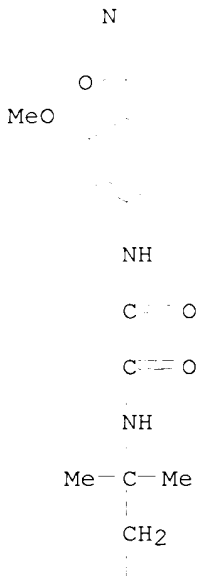


PAGE 2-A

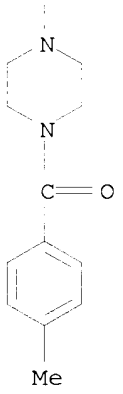


RN 357182-35-5 CAPLUS
CN Ethanediamide,
N-[1,1-dimethyl-2-[4-(4-methylbenzoyl)-1-piperazinyl]ethyl]-
N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

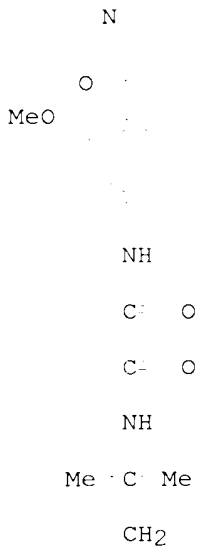
PAGE 1-A

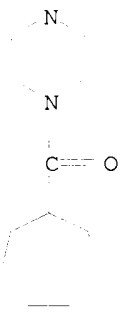


PAGE 2-A

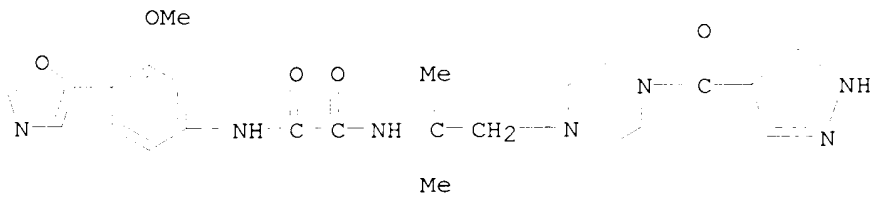


RN 357182-36-6 CAPLUS
CN Ethanediamide, N-[2-[4-(cycloheptylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)





RN 357182-37-7 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-[4-(1H-pyrazol-4-ylcarbonyl)-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



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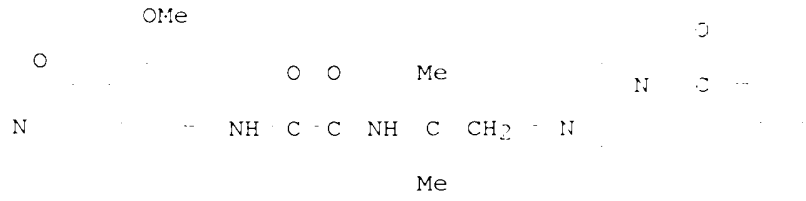
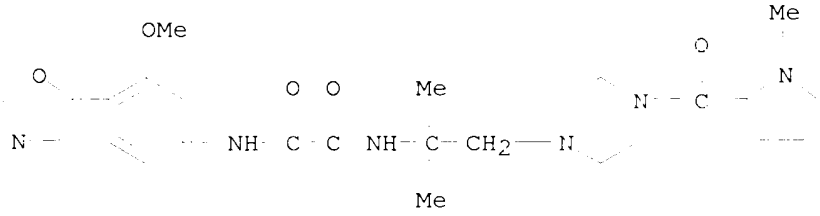
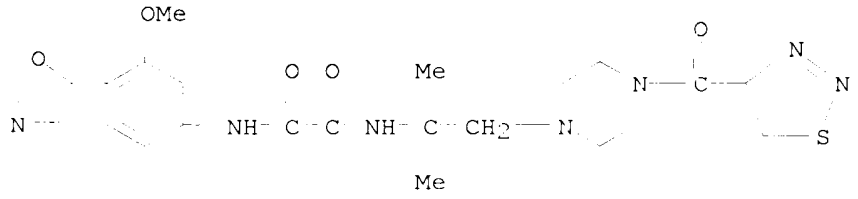


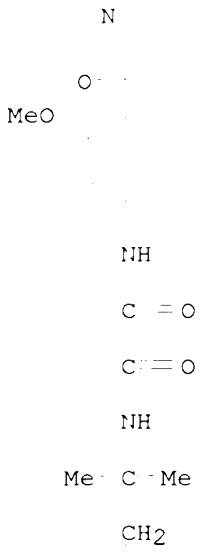
RN 357182-39-9 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-[4-[(1-methyl-1H-pyrrol-2-yl)carbonyl]-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

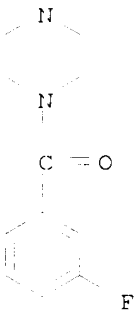


RN 357182-40-2 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-[4-(1,2,3-thiadiazol-4-ylcarbonyl)-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-41-3 CAPLUS
CN Ethanediamide, N-[2-[4-(3-fluorobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)





RN 357182-42-4 CAPLUS
CN Ethanediamide,
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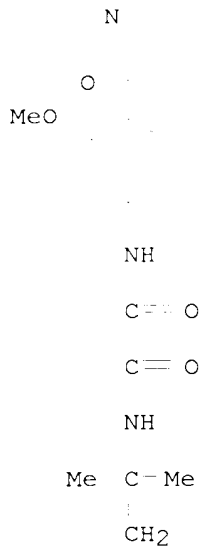
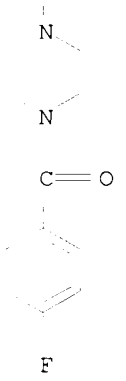
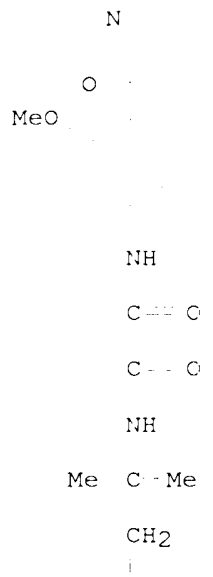
N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)





RN 357182-43-5 CAPLUS
CN Ethanediamide, N-[2-[4-(cyclopropylcarbonyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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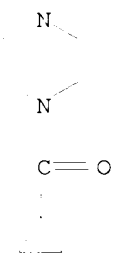
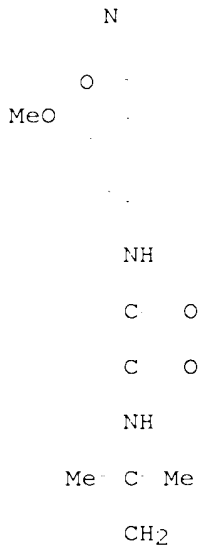
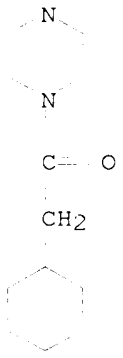


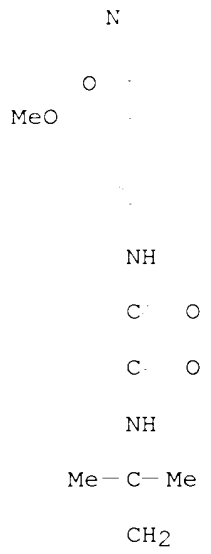
RN 357182-44-6 CAPLUS
CN Ethanediamide, N-[2-[4-(cyclohexylacetyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



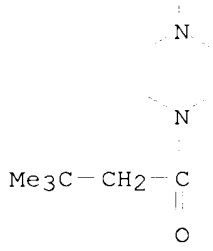


RN 357182-45-7 CAPLUS
CN Ethanediamide, N-[2-[4-(3,3-dimethyl-1-oxobutyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

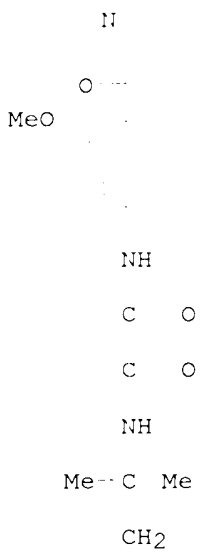
PAGE 1-A

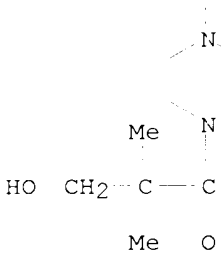


PAGE 2-A

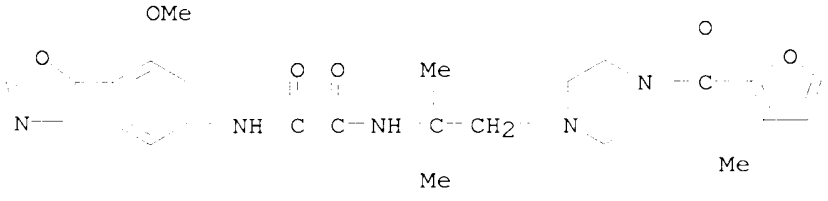


RN 357182-46-8 CAPLUS
CN Ethanediamide,
N-[2-[4-(3-hydroxy-2,2-dimethyl-1-oxopropyl)-1-piperazinyl]-
1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX
NAME)

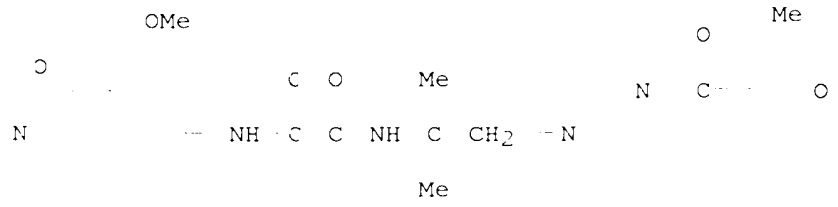




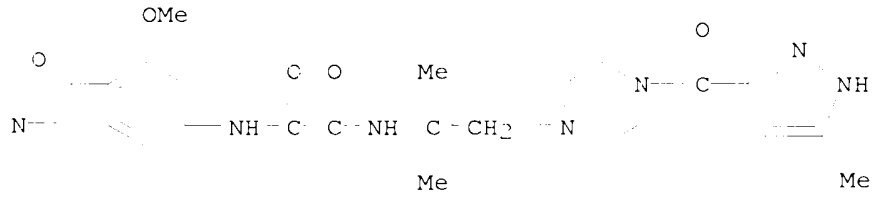
RN 357182-47-9 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-[4-[(3-methyl-2-furanyl)carbonyl]-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



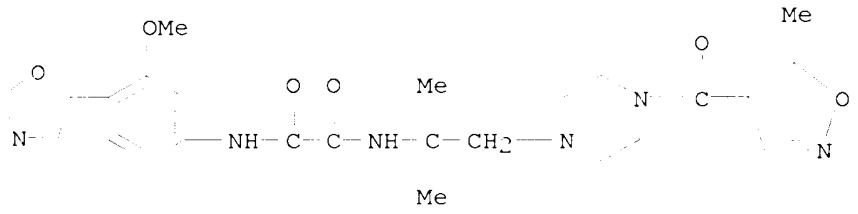
RN 357182-48-0 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-[4-[(2-methyl-3-furanyl)carbonyl]-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



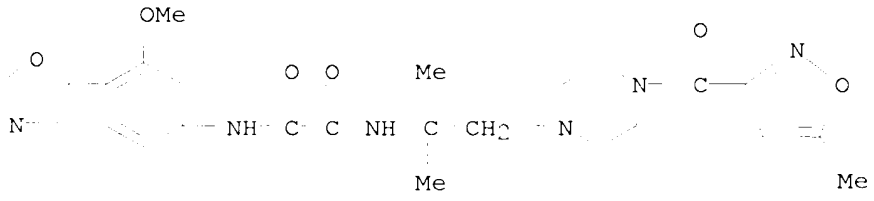
RN 357182-49-1 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-[4-[(5-methyl-1H-pyrazol-3-yl)carbonyl]-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-50-4 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-[4-[(5-methyl-4-isoxazolyl)carbonyl]-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

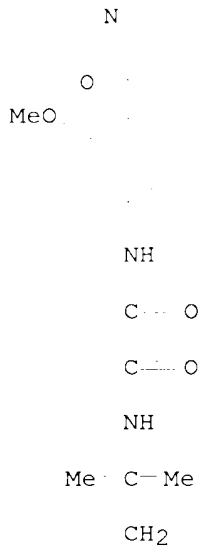


RN 357182-51-5 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-[4-[(5-methyl-3-isoxazolyl)carbonyl]-1-piperazinyl]ethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



RN 357182-52-6 CAPLUS
CN Ethanediamide, N-[2-[4-(4-aminobenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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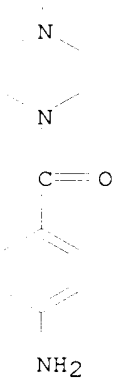
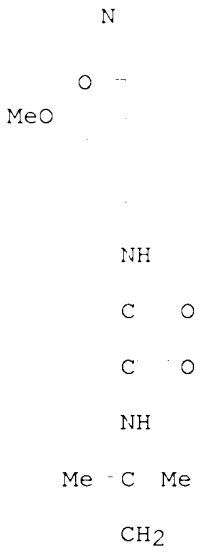


RN 357182-53-7 CAPLUS
CN Ethanediamide, N-[2-[4-(2-hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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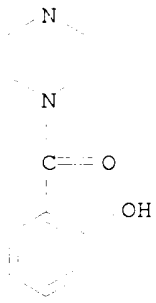
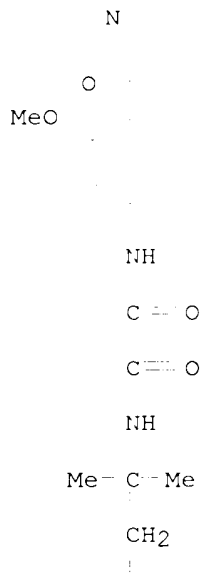


RN 357182-54-8 CAPLUS
CN Ethanediamide, N-[2-[4-(4-hydroxybenzoyl)-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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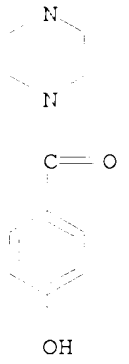


RN 357182-55-9 CAPLUS
CN Ethanediamide, N-[2-[4-[(1,3-dimethyl-1H-pyrazol-5-yl)carbonyl]-1-piperazinyl]-1,1-dimethylethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]-(9CI)
(CA INDEX NAME)

u
RN 357182-57-1 CAPLUS
=> d l4 2-6 ibib abs hitstr

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:12455 CAPLUS
DOCUMENT NUMBER: 134:86041
TITLE: Preparation of carbamate prodrugs for inhibition of inosine monophosphate dehydrogenase (IMPDH)
INVENTOR(S): Stamos, Dean P.; Bethiel, Randy S.

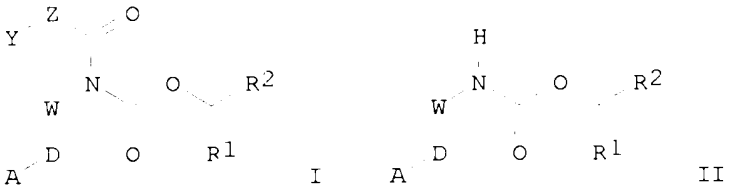
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

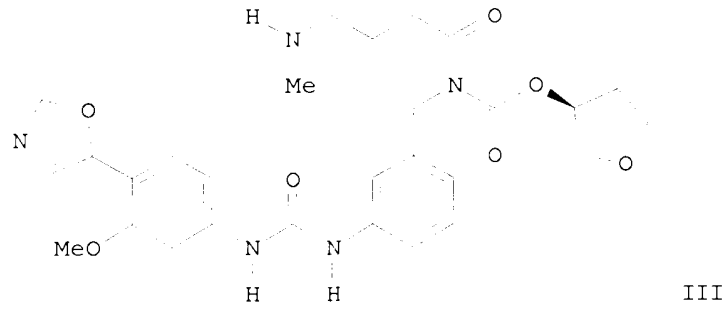
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000622	A1	20010104	WO 2000-US17400	20000623

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-141102 P 19990625
OTHER SOURCE(S): MARPAT 134:86041
GI





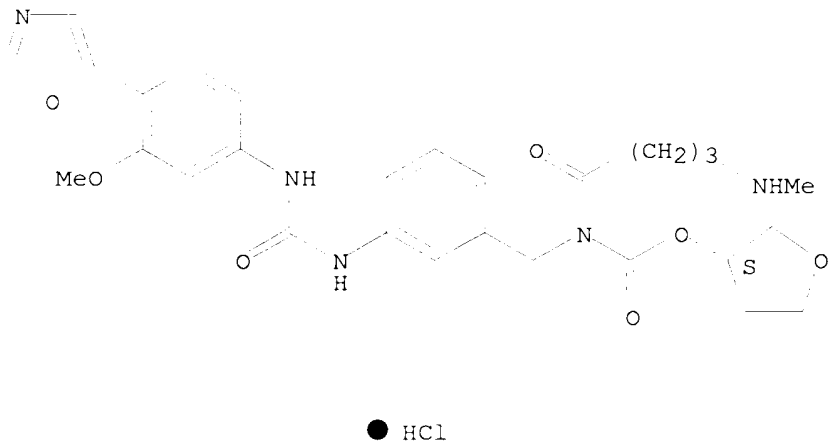
AB Carbamate prodrugs I [W = (un)substituted monocyclic or bicyclic, (un)satd. or arom. ring system consisting of 5-6 members per ring (optionally heterocyclic); D = NR3CONR3, CONR3, NR3CO, NR3COCR4:CR4 where each R3 = H, (un)substituted alkyl, alkenyl or alkynyl; R4 = R3, (un)substituted alkyl, alkenyl or alkenyl attached via O, OCO, S, SO, SO2, SCO, NR3, or NR3CO; A = W, alkyl, alkenyl, or alkynyl where A optionally comprises up to 2 substituents wherein: the first of said substituents is R5 or W, and the second substituent, if present, is R5; R5 = (un)substituted 1,2-methylenedioxy, 1,2-ethylenedioxy, alkyl, alkenyl or alkynyl or (CH2)nW1; W1 = halo, CN, NO2, CF3, OH, alkoxy, etc.; n = 0-2; Y = NHR6; R6 = H, (un)substituted alkyl, alkenyl, alkynyl, aryl or alkylaryl and any NR6, taken together with the nitrogen and a carbon adjacent to the

nitrogen, optionally forms a 5-7 membered ring, wherein said ring optionally contains up to 3 addnl. heteroatoms; Z = (un)substituted alkyl, alkenyl, alkynyl, aryl-alkyl, -alkenyl or -alkynyl, wherein up to 3 carbon atoms may be replaced with O, S, SO, SO2, or NR6, wherein up to 3 CH2 groups may be replaced with CO; R1 = (un)substituted alkyl; R2 = H, CF3, alkyl, alkyl-W, W, or R1 and R2 together form a ring as defined in W], that on metab. convert to active inhibitors (formula II) of the IMPDH enzyme in vivo, were prepd. Thus, III.cntdot.HCl was prepd. from methylaminobutyric acid in seven steps. I and pharmaceutical compns. thereof are particularly well suited for activation and subsequent inhibition of the IMPDH enzyme activity. The rate of absorption of I via oral uptake of the prodrugs was dependent on the nature of substituents Z and Y, as well as the pH, with AUC values ranging from <1 to 5 mg.cntdot.hr/mL. Consequently, I may be advantageously used as therapeutic agents for IMPDH-mediated processes, e.g., cell proliferation (antitumor agents), and viral replication (antiviral agents).

IT **317345-64-5P 317345-66-7P 317345-68-9P**
317345-70-3P 317345-72-5P 317345-74-7P
317345-76-9P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prepn. and biol. activity of N,N'-diphenylurea prodrugs of carbamate inhibitors of IMPDH)

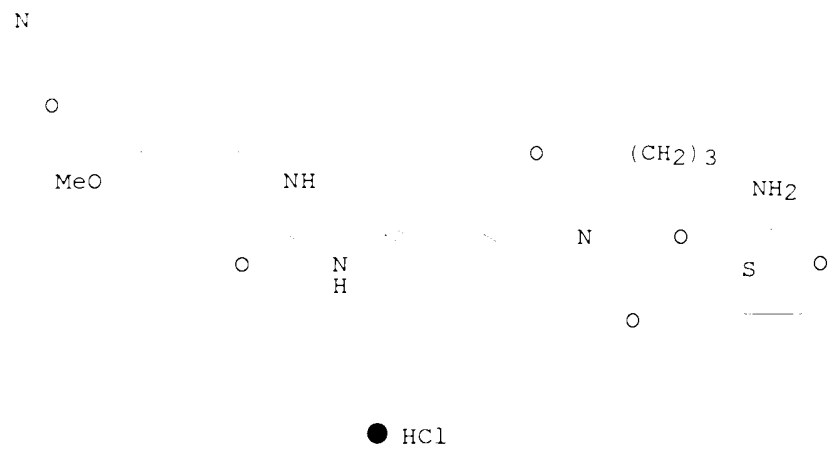
RN 317345-64-5 CAPLUS
CN Carbamic acid, [[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl][4-(methylamino)-1-oxobutyl]-, (3S)-tetrahydro-3-furanyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 317345-66-7 CAPLUS
CN Carbamic acid, (4-amino-1-oxobutyl)[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]-, (3S)-tetrahydro-3-furanyl ester, monohydrochloride (9CI) (CA INDEX NAME)

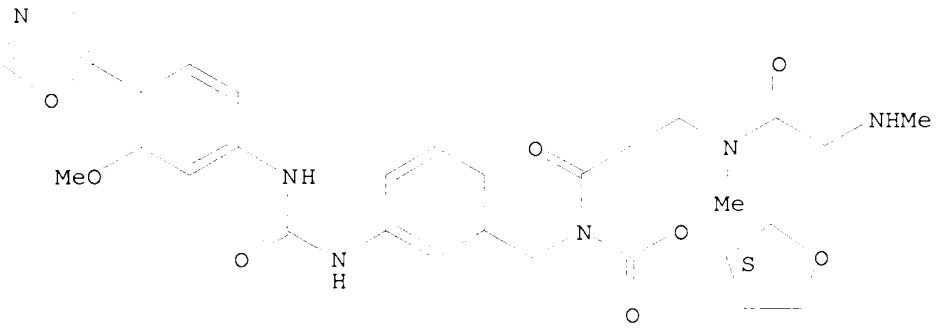
Absolute stereochemistry.



● HCl

RN 317345-68-9 CAPLUS
CN Glycinamide, N-methylglycyl-N-[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]-N2-methyl-N-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

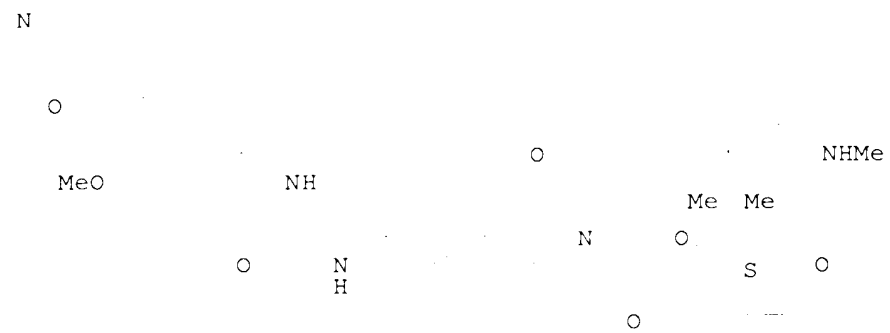
Absolute stereochemistry.



● HCl

RN 317345-70-3 CAPLUS
CN Carbamic acid, [3,3-dimethyl-4-(methylamino)-1-oxobutyl][[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]-, (3S)-tetrahydro-3-furanyl ester, monohydrochloride (9CI) (CA INDEX NAME)

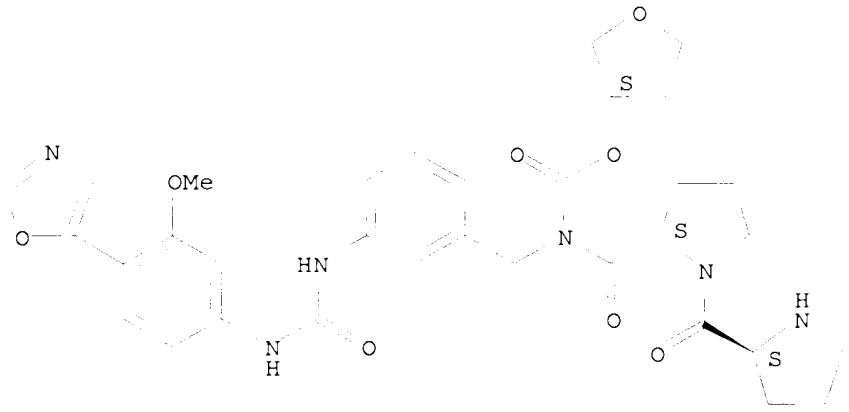
Absolute stereochemistry.



● HCl

RN 317345-72-5 CAPLUS
CN L-Prolinamide, L-prolyl-N-[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]-N-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

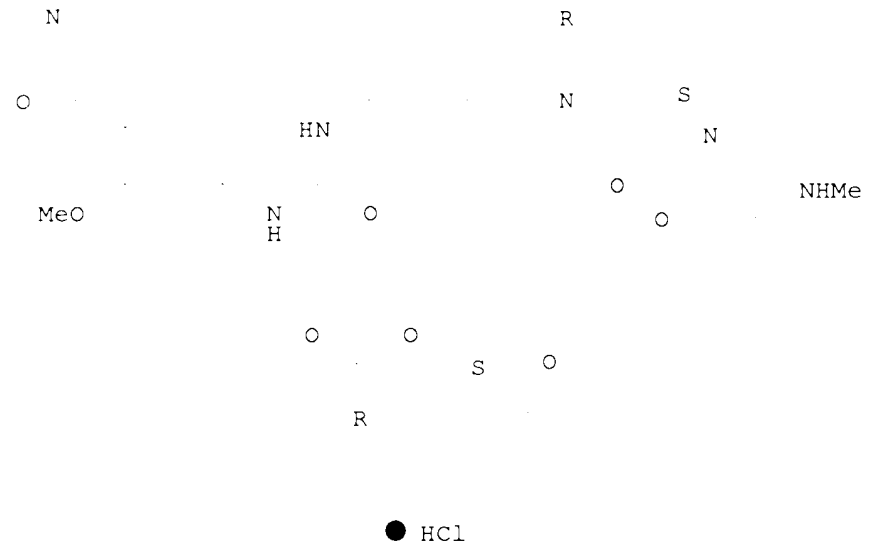
Absolute stereochemistry.



● HCl

RN 317345-74-7 CAPLUS
CN L-Prolinamide, N-methylglycyl-N-[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]methyl]-N-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

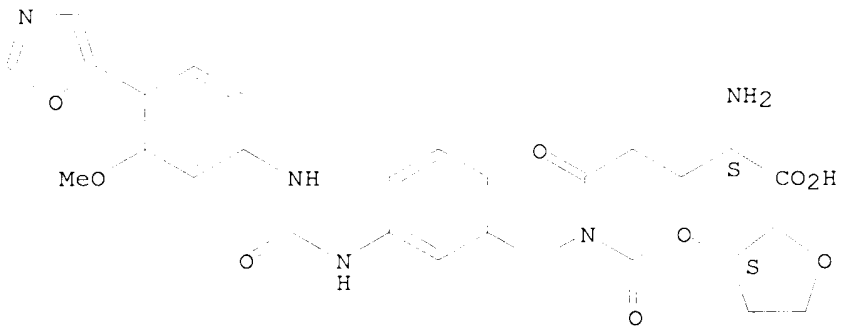
Absolute stereochemistry.



● HCl

RN 317345-76-9 CAPLUS
CN L-Glutamine,
N-[[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino
]phenyl]methyl]-N-[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

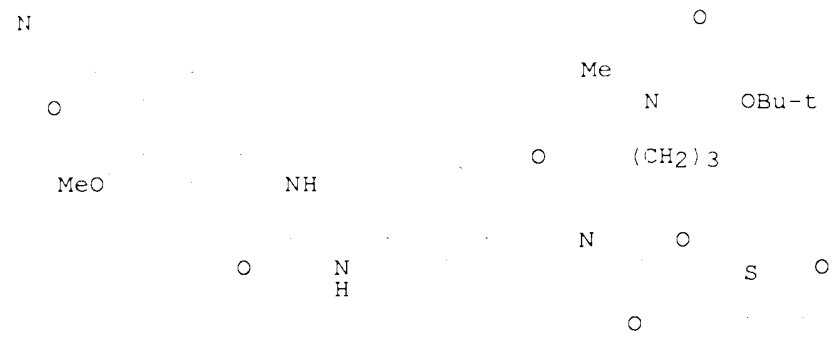


● HCl

IT **317345-62-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and biol. activity of N,N'-diphenylurea prodrugs of carbamate inhibitors of IMPDH)
RN 317345-62-3 CAPLUS
CN Carbamic acid, [4-[[(1,1-dimethylethoxy)carbonyl]methylamino]-1-

oxobutyl][[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]pheny
l]methyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



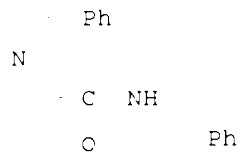
REFERENCE COUNT: 5
REFERENCE(S): (1) Beylin, V; JOURNAL OF HETEROCYCLIC CHEMISTRY 1988, V25(1), P97 CAPLUS
(2) Kahns, A; 1991, 6, P483 CAPLUS
(3) Kahns, A; INT J PHARM 1991, V71(1-2), P31 CAPLUS
(4) Sharma, S; JOURNAL OF MEDICINAL CHEMISTRY 1989, V32(2), P357 CAPLUS
(5) Vertex Pharmaceuticals Incorporated; WO 9740028 A 1997 CAPLUS

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:351518 CAPLUS
DOCUMENT NUMBER: 133:4650
TITLE: Preparation of heteroaryl-substituted aromatic compounds as antiherpes compounds
INVENTOR(S): Simoneau, Bruno; Crute, James J.; Faucher, Anne-Marie; Grygon, Christine A.; Hargrave, Karl D.; Thavonekham, Bounkham
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000029399	A1	20000525	WO 1999-CA1066	19991109

W: CA, JP, MX, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: US 1998-108272 P 19981112
OTHER SOURCE(S): MARPAT 133:4650
GI



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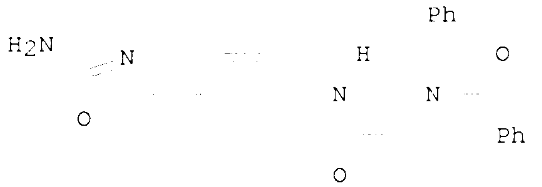


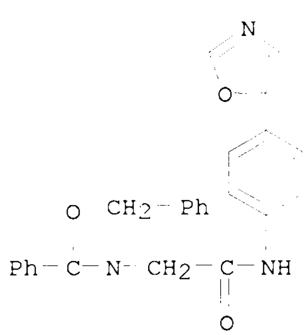
III

AB The title compds. X-Aryl-Y-Z [I; X = 5-6 membered arom. heterocycle; Aryl = (un)substituted Ph, pyridyl; Y is absent or a bridging group, for example NHC(O)CH2; Z is a terminal group, for example NHCO2t-Bu or II], which inhibit the herpes helicase-primase enzyme, rendering the compds. useful as antiviral agents, were prepd. E.g., a multi-step synthesis of benzamide III was presented. Biol. data (IC50 and/or EC50 against HSV-1 and HCMV) for compds. I were given.

IT **270566-02-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heteroaryl-substituted arom. compds. as antiherpes compds.)

RN 270566-02-4 CAPLUS

CN Benzamide,
N-[2-[[4-(5-oxazolyl)phenyl]amino]-2-oxoethyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5

REFERENCE(S):
(1) Boehringer Ingelheim Ca Ltd; WO 9724343 A 1997 CAPLUS
(2) Ciba Geigy Ag; EP 0045081 A 1982 CAPLUS
(3) Sanofi Sa; FR 2754258 A 1998 CAPLUS
(4) Spector, F; JOURNAL OF VIROLOGY 1998, V72(9), P6979 CAPLUS
(5) Tularik Inc; WO 9942455 A 1999 CAPLUS

L4 ANSWER 4 OF 6 CAPLUS

ACCESSION NUMBER: 2000:314682 CAPLUS

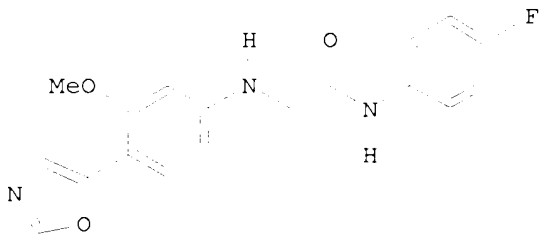
DOCUMENT NUMBER: 132:334449

TITLE: Preparation of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme

INVENTOR(S): Gu, Henry H.; Dhar, T. G. Murali; Iwanowicz, Edwin
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026197	A1	20000511	WO 1999-US24889	19991022
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1127054	A1	20010829	EP 1999-960145	19991022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-106180 P 19981029
WO 1999-US24889 W 19991022
OTHER SOURCE(S): MARPAT 132:334449
GI



II

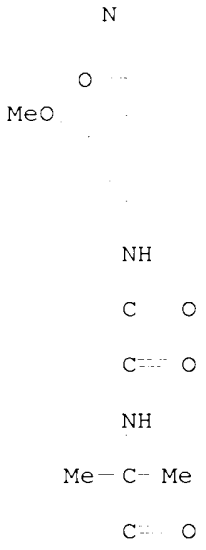
AB The title compds. ZJKLX [I; Z = (un)substituted monocyclic or bicyclic ring system contg. up to 4 heteroatoms selected from N, O, and S; J = NR7, CO; K = NR7, CO, CHR9; L = a single bond, CO, CR10R11, etc.; X = alkyl, alkenyl, cycloalkylalkyl, etc.; R7 = H, alkyl, alkenyl, etc.; R9 = H, alkyl, alkenyl, etc.; R10, R11 = H, F, Cl, etc.], useful in treating or preventing IMPDH assocd. disorders, such as transplant rejection and autoimmune disease, were prepd. E.g., a multi-step synthesis of gycinamide II was given. Compds. I are effective at 0.1-500 mg/kg/day.

IT **267405-70-9P 267405-71-0P 267405-72-1P**
267405-73-2P 267405-74-3P 267405-75-4P
267405-79-8P 267405-86-7P 267405-87-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-[4-(5-oxazolyl)phenyl] amides as novel inhibitors of IMPDH enzyme)

RN 267405-70-9 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-oxo-2-(1-piperidinyl)ethyl]-N'-[3-methoxy-

4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

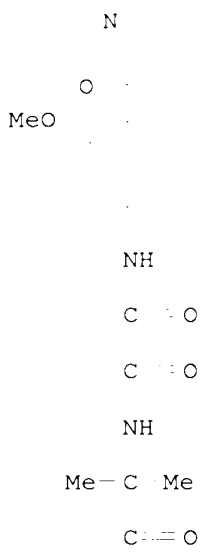
PAGE 1-A



PAGE 2-A

RN 267405-71-0 CAPLUS
CN Ethanediamide, N-[1,1-dimethyl-2-(4-methyl-1-piperazinyl)-2-oxoethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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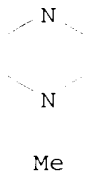
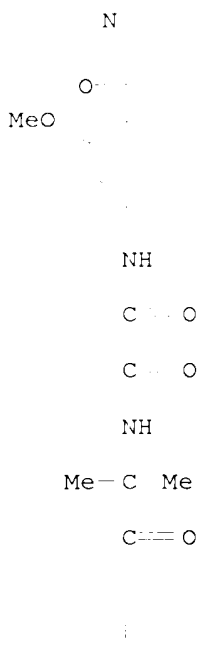


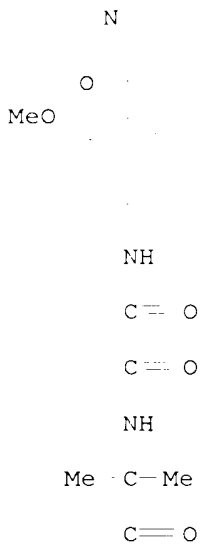
RN 267405-72-1 CAPLUS
CN Ethanediamide,
N-[1,1-dimethyl-2-(4-morpholinyl)-2-oxoethyl]-N'-[3-methoxy-
4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)

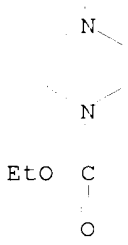
PAGE 1-A



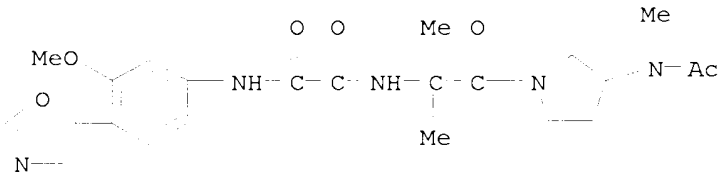
PAGE 2-A

RN 267405-73-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-2-methylalanyl]-, ethyl ester (9CI) (CA INDEX NAME)

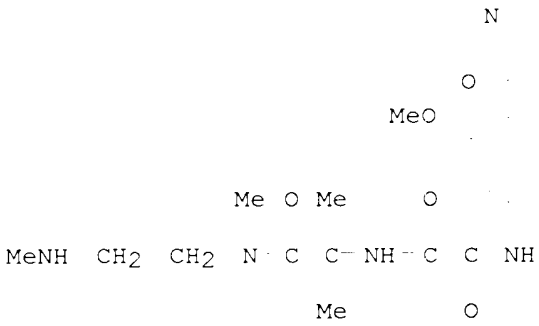




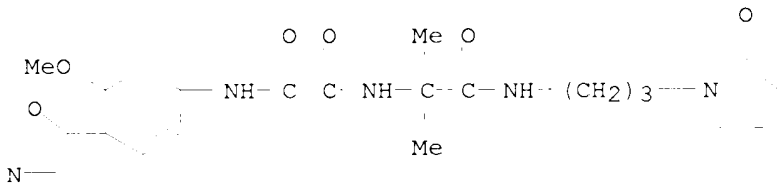
RN 267405-74-3 CAPLUS
CN Ethanediamide,
N-[2-[3-(acetylmethylamino)-1-pyrrolidinyl]-1,1-dimethyl-2-oxoethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



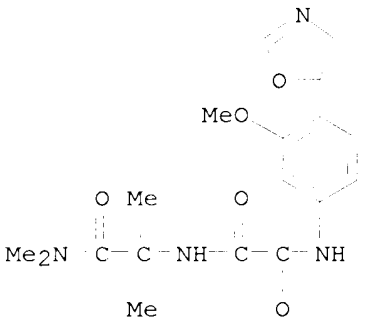
RN 267405-75-4 CAPLUS
CN Alaninamide,
N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-N,2-dimethyl-N-[2-(methylamino)ethyl]- (9CI) (CA INDEX NAME)



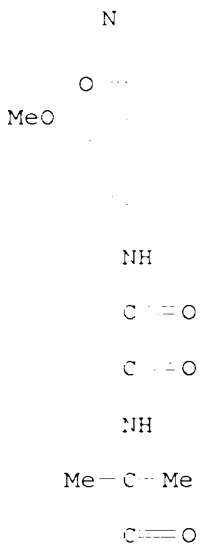
RN 267405-79-8 CAPLUS
CN Alaninamide, N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-2-methyl-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

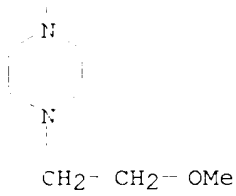


RN 267405-86-7 CAPLUS
CN Alaninamide, N-[3-methoxy-4-(5-oxazolyl)phenyl]-2-oxoglycyl-N,N,2-trimethyl- (9CI) (CA INDEX NAME)



RN 267405-87-8 CAPLUS
CN Ethanediamide, N-[2-[4-(2-methoxyethyl)-1-piperazinyl]-1,1-dimethyl-2-oxoethyl]-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 3
REFERENCE(S): (1) Diana; US 4861791 A 1989 CAPLUS
(2) Djuric; US 5073562 A 1991 CAPLUS
(3) Goldstein; US 5334604 A 1994 CAPLUS

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:268526 CAPLUS
DOCUMENT NUMBER: 132:288797
TITLE: Inosine 5'-monophosphate dehydrogenase (IMPDH) inhibitor preparation for therapeutic use
INVENTOR(S): Armistead, David M.; Badia, Michael C.; Bemis, Guy W.;
Bethiel, Randy S.; Frank, Catharine A.; Novak, Perry M.; Ronkin, Steven M.; Saunders, Jeffrey O.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
SOURCE: U.S., 22 pp., Cont.-in-part of U.S. 5,807,876.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

US 6054472 A 20000425 US 1997-832165 19970402
US 5807876 A 19980915 US 1996-636361 19960423
WO 9740028 A1 19971030 WO 1997-US6623 19970421
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9726785 A1 19971112 AU 1997-26785 19970421
AU 723730 B2 20000907
EP 902782 A1 19990324 EP 1997-918759 19970421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
CN 1219929 A 19990616 CN 1997-194856 19970421
BR 9708735 A 19990803 BR 1997-8735 19970421
JP 2001509132 T2 20010710 JP 1997-538234 19970421
NO 9804917 A 19981223 NO 1998-4917 19981022
KR 2000010580 A 20000215 KR 1998-708454 19981022

PRIORITY APPLN. INFO.: US 1996-636361 A2 19960423
US 1997-801780 A2 19970214
US 1997-832165 A 19970402
WO 1997-US6623 W 19970421

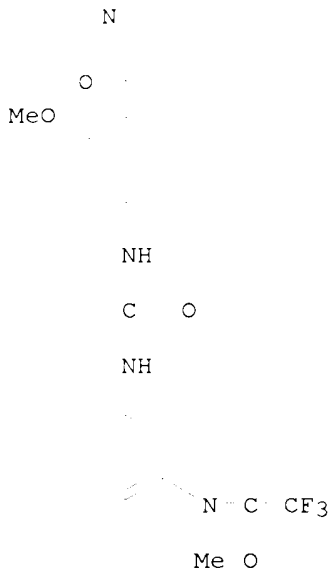
OTHER SOURCE(S): MARPAT 132:288797

AB The invention relates to a novel class of compds. which are IMPDH inhibitors. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of the invention are particularly well suited for inhibiting IMPDH activity and consequently may be used as therapeutic agents for IMPDH-mediated processes. The invention also relates to methods for inhibiting the activity of IMPDH using the compds. of the invention and related compds.

IT **198821-00-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inosine monophosphate dehydrogenase inhibitor prepn. for therapeutic use)

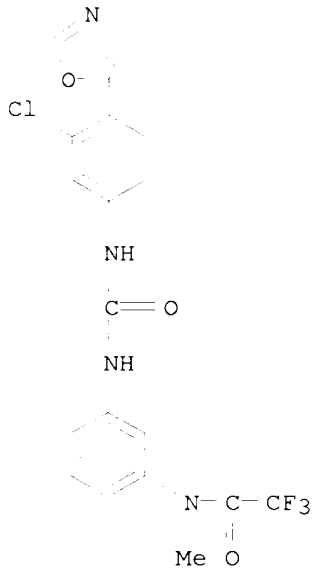
RN 198821-00-0 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



IT **198820-96-1 198821-11-3**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inosine monophosphate dehydrogenase inhibitor prepn. for therapeutic use)

RN 198820-96-1 CAPLUS
CN Acetamide,
N-[3-[[[[3-chloro-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]-2,2,2-trifluoro-N-methyl- (9CI) (CA INDEX NAME)



RN 198821-11-3 CAPLUS
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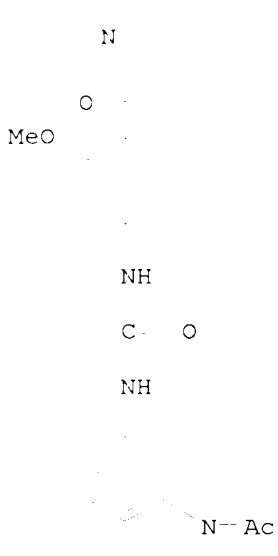


REFERENCE COUNT: 13
REFERENCE(S): (1) Anon; US 4048333 1977 CAPLUS
(2) Anon; WO 9401105 1994 CAPLUS
(3) Anon; WO 9412184 1994 CAPLUS
(4) Anon; US 5380879 1995 CAPLUS
(5) Anon; US 5444072 1995 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:717901 CAPLUS
DOCUMENT NUMBER: 128:3680
TITLE: Preparation of arylreas and related compounds as inhibitors of inosine 5'-monophosphate dehydrogenase.
INVENTOR(S): Armistead, David M.; Badia, Michael C.; Bemis, Guy W.;
Bethiel, Randy S.; Frank, Catharine A.; Novak, Perry M.; Ronkin, Steven M.; Saunders, Jeffrey O.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740028	A1	19971030	WO 1997-US6623	19970421
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5807876	A	19980915	US 1996-636361	19960423
US 6054472	A	20000425	US 1997-832165	19970402
AU 9726785	A1	19971112	AU 1997-26785	19970421
AU 723730	B2	20000907		
EP 902782	A1	19990324	EP 1997-918759	19970421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO

BR 9708735	A	19990803	BR 1997-8735		19970421
JP 2001509132	T2	20010710	JP 1997-538234		19970421
NO 9804917	A	19981223	NO 1998-4917		19981022
PRIORITY APPLN. INFO.:			US 1996-636361	A	19960423
			US 1997-801780	A	19970214
			US 1997-832165	A	19970402
			WO 1997-US6623	W	19970421

OTHER SOURCE(S): MARPAT 128:3680

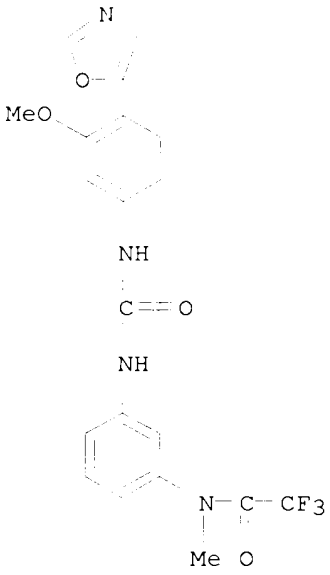
AB ANHDNHB [A = (substituted) alkyl, alkenyl, alkynyl; B = (unsatd.) (substituted) mono- or bicyclic ring contg. .ltoreq.4 heteroatoms; D = CO, CS, SO2], were prepd. Thus, 4-(5-oxazolyl)aniline and PhCH2NCO were stirred overnight in CH2Cl2 to give N-benzyl-N'-[4-(5-oxazolyl)phenyl]urea. Several title compds. inhibited IMPDH with Ki = 0.01-50 nM.

IT **198821-00-0P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylreas and related compds. as inhibitors of IMP dehydrogenase)

RN 198821-00-0 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-[3-[[[[3-methoxy-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

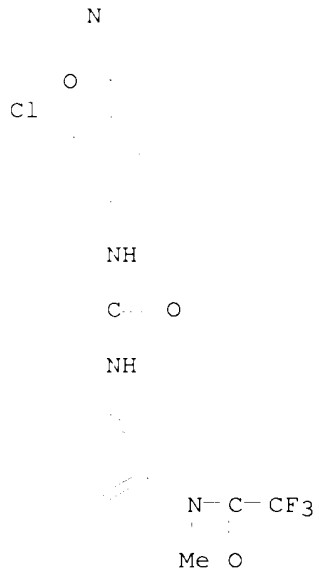


IT **198820-96-1 198821-11-3**

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of arylreas and related compds. as inhibitors of IMP dehydrogenase)

RN 198820-96-1 CAPLUS

CN Acetamide, N-[3-[[[[3-chloro-4-(5-oxazolyl)phenyl]amino]carbonyl]amino]phenyl]-2,2,2-trifluoro-N-methyl- (9CI) (CA INDEX NAME)



RN 198821-11-3 CAPLUS
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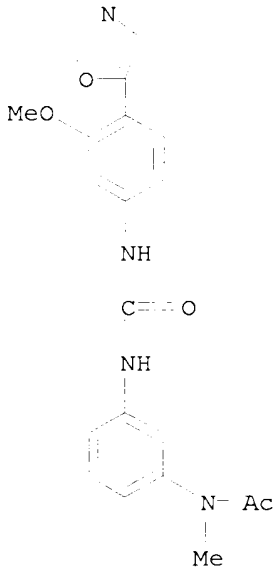
enyl]-N-methyl- (9CI) (CA INDEX NAME)



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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	40.79	181.48

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.58	-5.58

STN INTERNATIONAL LOGOFF AT 10:31:09 ON 15 JAN 2002